PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference P1229PCT		FOR FURTHER A		See Form PCT/IPEA/416		
International application No. Inter		International filing da	te (day/month/year)	Priority date (day/month/year)		
PCT/CH2004/000408 29.06.200			4	21.07.2003		
International Pat	tent Classification (IPC) or n	ational classification and	IPC	<u> </u>		
C07C231	./12, C07D207,	/Q8, C07C233	3/09			
Applicant						
	SIEGFRIED GENERICS INTERNATIONAL AG					
	 This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36. 					
2. This R	EPORT consists of a total of	9	sheets, including	g this cover sheet.		
3. This re	eport is also accompanied by	ANNEXES, comprising:				
a. [(sent to the applicant a	nd to the International Bu	reau) a total of	sheets, as follows:		
	a. (sent to the applicant and to the International Bureau) a total of sheets, as follows: sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative					
	Instructions).	and and a late of the state of the	unkiah shia Ansthunish	riders contain an amondment that goes havened		
	sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.					
_k F	7	al Rureau anly) a total of	(indicate type and number	r of electronic carrier(s))		
0		a Darcua Viny) a total Of				
	, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).					
4. This re	eport contains indications rel	ating to the following iter	ns:			
	Box No. I Basis of	the report				
		roport				
	•	Michaela Seninie - 194		in stance and industrial applicability.		
		•	rregard to novelty, invent	ive step and industrial applicability		
		inity of invention	26(2) with	No. 1 consiste and a second second constitution		
	Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement					
l ∐	Box No. VI Certain d	locuments cited				
	Box No. VII Certain of	lefects in the international	application			
	Box No. VIII Certain observations on the international application					
Date of submission of the demand			Date of completion of th	is report		
Name and mailing address of the IPEA/EP			Authorized officer			
Fassimile No.			Talanha Ma			

Translation

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Box	No. I		Basis of the report		
1.			to the language, this report is based on the international der this item.	al application in the language in which it	was filed, unless otherwise
		which	port is based on translations from the original language is the language of a translation furnished for the purpo		,
		$\overline{}$	nternational search (Rule 12.3 and 23.1(b)) publication of the international application (Rule 12.4)		
		$\overline{\Box}$	nternational preliminary examination (Rule 55.2 and/o	т 55.3)	
2.	recei	n regard iving Off report): the into	to the elements of the international application, this refice in response to an invitation under Article 14 are ernational application as originally filed/furnished	eport is based on (replacement sheets wh	ich have been furnished to the filed" and are not annexed to
			scription:		as ani aimally. Glad/Granishad
		pages	1-17	maniped by this Authority on	as originally filed/furnished
		pages*			
	\square	pages*			
		the cla			
		nos.	1-18		as originally filed/furnished
		nos.*			y statement) under Article 19
		nos.*			
	$\overline{}$	nos.*		received by this Authority on	
	Ш	the dra	awings:		
		sheets		18.4.5	as originally filed/furnished
		sheets		-	
ĺ	_	sheets	*	received by this Authority on	
l	Ш	a sequ	ence listing and/or any related table(s) - see Suppleme	ental Box Relating to Sequence Listing.	
3.	Ш	The ar	mendments have resulted in the cancellation of:		
			the description, pages		
			the claims, nos.		
			the drawings, sheets/figs		
l			the sequence listing (specify):		
			any table(s) related to sequence listing (specify):		
4.			eport has been established as if (some of) the amenda have been considered to go beyond the disclosure as file		
			the description, pages		
			the claims, nos.		
			the drawings, sheets/figs		
			the sequence listing (specify):		
			any table(s) related to sequence listing (specify):		
*	If ite	ет 4 арј	olies, some or all of those sheets may be marked "supe	rseded."	

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Box	No. II	I Non-establishment of opinion	with regard to novelty, inventive step and industrial applicability	
The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:				
		the entire international application		
	\boxtimes	claims Nos		
i	ecaus			
	П	the said international application, or the	said slaims Nos	
	ш	relate to the following subject matter w	nich does not require an international preliminary examination (specify):	
		the description, claims or drawings (inc	icate particular elements below) or said claims Nos.	
		are so unclear that no meaningful opini	on could be formed (specify):	
		the claims, or said claims Nos.	are so inadequately supported	
		by the description that no meaningful of	pinion could be formed.	
	\boxtimes	no international search report has been	established for said claims Nos.	
1			ence listing does not comply with the standard provided for in Annex C of the Administrative	
	Ш	Instructions in that:	nace issuing door not compay with the statement provided for in Filancia C of the Filancia	
		the written form	has not been furnished	
			does not comply with the standard	
		the computer readable form	has not been furnished	
			does not comply with the standard	
		the tables related to the nucleotide an technical requirements provided for in	Vor amino acid sequence listing, if in computer readable form only, do not comply with the Annex C-bis of the Administrative Instructions.	
		See Supplemental Box for further deta		

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Box	No. V		statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; and explanations supporting such statement	
1.	Statement			
	Novelty ((N)	Claims 1-17	_ YES
			Claims 18	_ NO
	Inventive	step (IS)	Claims	YES
			Claims 1-18	_ NO
	Industrial	l applicabili	ty (IA) Claims 1-18	YES
			Claims	_ NO
2.	Citations and		ns (Rule 70.7)	
		Refer	rence is made to the following documents:	
		D1:	EP-A-0 298 652 (MERCK & CO INC) 11 January	
			1989 (1989-01-11)	
		D2:	TSUJI J ET AL: TETRAHEDRON LETTERS, ELSEVIER	
			SCIENCE PUBLISHERS, AMSTERDAM, NL, Vol. 25,	
			No. 42, 1984, pages 4783-4786, XP002226639	
			ISSN: 0040-4039	
		D3:	EP-A-0 428 366 (MERCK & CO INC) 22 May 1991	
			(1991-05-22)	
		D4:	EP-A-0 473 226 (MERCK & CO INC) 4 March 1992	
			(1992-03-04)	
		D5:	BROWN H.C. ET AL.: J. AM. CHEM. SOC, Vol. 86,	
			1964, pages 1089-1095, XP002262813	
		D6:	BAKER J.T. ET AL.: J. ORG. CHEM., Vol. 44,	
ļ			No. 15, 1070, pages 2708-2000, MD002262814	
		D7:	CARAMELLA P ET AL: TETRAHEDRON, ELSEVIER	
			SCIENCE PUBLISHERS, AMSTERDAM, NL, Vol. 55,	
			No. 22, 28 May 1999 (1999-05 28), pages 7027-	
			7044, XP004165609 ISSN: 0040-4020	
		D8:	HORI K ET AL: TETRAHEDRON LETTERS, ELSEVIER	
			SCIENCE PUBLISHERS, AMSTERDAM, NL, Vol. 40,	
			No. 28, 9 July 1999 (1999-07-09), pages 5207-	

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

5210, XP004170055 ISSN: 0040-4039

D9: KANEMASA S ET AL: TETRAHEDRON LETTERS,
ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL,
Vol. 37, No. 47, 18 November 1996
(1996-11-18), pages 8505-8506, XP004068701
ISSN: 0040-4039

1.) The subject matter of claim 1 is a method for producing unsaturated amides or lactams from the corresponding saturated compounds. Corresponding (sily1-)-enol ethers act as intermediate products.

Document D1 is considered the prior art closest to the subject matter of the claim and discloses (see claim 1, page 18, lines 45-53; claim 3; example 3) a method for producing unsaturated lactams from the corresponding silyl enol ethers, from which the method as per claim 1 of the application differs in that a "dehydrogenation" catalyst is present in addition to an oxidation agent (quinone).

The subject matter of claim 1 (and of dependent claims 2-17) is thus novel (PCT Article 33(2)).

In the light of the teaching of D1, the current invention can be considered to address the problem of developing a further method for producing unsaturated amides or lactams.

The problem is solved as per claim 1 in that a "dehydrogenation catalyst is used in addition to

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

an oxidation agent.

A person skilled in the art would expect the reaction known from D1 to also function in the presence of a dehydrogenation catalyst.

This is even more the case since the combination of an oxidation agent and a dehydrogenation catalyst is known from D3 for the corresponding reaction for producing esters.

The production of enol ether intermediate products is already known from D3 and D4.

The subject matter of claim 1 is therefore obvious and does not satisfy the criterion for inventive step.

Dependent claims 2-17 do not contain any features which, in combination with the features of any claim to which they refer, meet the PCT requirements for novelty and inventive step.

2.) The subject matter of claim 18 concerns compounds produced as per the method according to claim 1.

Compounds produced as per the method according to claim 1 cannot be distinguished from compounds produced using different methods. Molecules do not have a memory which would tell them according to which method they were produced.

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Consequently, compounds produced as per different methods (which cannot be distinguished from the compounds as per claim 18) are also covered by the scope of protection of the claim.

D5-D9 are examples of documents which disclose such compounds (see the passages of text cited in the search report).

The subject matter of claim 18 thus lacks novelty (PCT Article 33(2)) (see also Box III).

Insofar as it is novel, the subject matter of claim 18 does not involve an inventive step (PCT Article 33(3)), since when used the compounds do not display any advantages over differently produced compounds and can therefore be regarded as obvious alternatives.

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Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

1.) It would appear from the description and the examples that the following feature is essential to the definition of the invention: the combination of a palladium compound (as dehydrogenation catalyst) with an oxidation agent which is selected from the group of optionally substituted benzoquinone, allyl methyl carbonate, allyl ethyl carbonate and allyl propyl carbonate.

Since independent claim 1 is not restricted to this feature, it does not meet the requirement of PCT Article 6 in conjunction with PCT Rule 6.3(b) that each independent claim must include all the technical features essential to the definition of the invention.

2.) The terms "dehydrogenation catalyst" and "oxidation agent" are vague and leave the reader uncertain as to the meaning of the technical feature or features in question. As a result, the subject matter of claim 1 is not clearly defined (PCT Article 6).

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Supplemental Box

In case the space in any of the preceding boxes is not sufficient. Continuation of:

Box III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

In its initial stages, the search yielded a very large number of documents that are prejudicial to the novelty of claim 18. This number is so large that it becomes impossible to identify anything in the claims as a whole for which protection might justifiably be sought. Acrylamide, for example, falls under the scope of protection sought in claim 18. For these reasons it does not appear possible to carry out a meaningful search covering the full range of claim 18. The search was therefore restricted to: the compounds as mentioned on pages 10 to 13 of